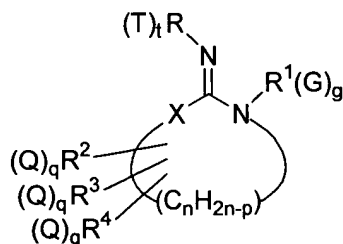


1. (Amended) A compound having the formula



wherein

R is

substituted aryl of 6 - 14 carbons wherein the substituent is T; or  
heteroaryl of 3 - 10 carbons and containing 1 - 3 heteroatoms  
selected from the group consisting of N, O, and S, with the proviso  
that R is other than benzofuran or benzothiophene;

R<sup>1</sup> is

alkyl of 1 - 10 carbons;  
cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;  
heterocycloalkyl of 4 - 7 carbons and containing 1 - 3 rings and 1 - 3  
heteroatoms selected from the group consisting of N, O, and S;  
alkenyl of 2 - 10 carbons;  
cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings; or  
alkynyl of 3 - 10 carbons;

R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently selected from the group consisting of

H;  
alkyl of 1 - 10 carbons;  
cycloalkyl of 3 - 12 carbons;  
alkenyl of 2 - 10 carbons;  
cycloalkenyl of 5 - 12 carbons;

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substituted aryl of 6 - 13 carbons wherein the substituent is Q;  
heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms  
selected from the group consisting of N, O, and S;

$\text{CO}_2\text{R}^5$ ; wherein

$\text{R}^5$  is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons, cycloalkyl  
of 3 - 6 carbons, or halocycloalkyl of 3 - 6 carbons;

halogen; and

$=\text{O}$ , representing two of the groups  $\text{R}^2$ ,  $\text{R}^3$ , and  $\text{R}^4$ ;

X is O;

n is 2;

p is the sum of non-H substituents  $\text{R}^2$ ,  $\text{R}^3$ , and  $\text{R}^4$ ;

T is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

alkoxy of 1 - 4 carbons;

aryl of 6 - 10 carbons;

$\text{CO}_2\text{H}$ ;

$\text{CO}_2\text{R}^5$ ;

alkenyl of 2 - 4 carbons;

alkynyl of 2 - 4 carbons;

$\text{C}(\text{O})\text{C}_6\text{H}_5$ ;

$\text{C}(\text{O})\text{N}(\text{R}^6)(\text{R}^7)$ ; wherein

$\text{R}^6$  is H or alkyl of 1 - 5 carbons; and

$\text{R}^7$  is H or alkyl of 1 - 5 carbons;

$\text{S}(\text{O})_{y'}\text{R}^8$ ; wherein

$y'$  is 1 or 2; and

$\text{R}^8$  is alkyl of 1 - 5 carbons;

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SO<sub>2</sub>F;

CHO;

OH;

NO<sub>2</sub>;

CN;

halogen;

OCF<sub>3</sub>;

N-oxide;

O-C(R<sup>9</sup>)<sub>2</sub>-O, the oxygens being connected to adjacent positions on R; and  
wherein

R<sup>9</sup> is H, halogen, or alkyl of 1 - 4 carbons;

C(O)NHC(O), the carbons being connected to adjacent positions on R;  
and

C(O)C<sub>6</sub>H<sub>4</sub>, the carbonyl carbon and the ring carbon ortho to the carbonyl  
being connected to adjacent positions on R;

t is 1 - 5;

provided that when substituent moiety T is alkyl of 1 - 4 carbons, alkoxy of 1 - 4 carbons, aryl of 6 - 10 carbons, CO<sub>2</sub>R<sup>5</sup>, alkenyl of 2 - 4 carbons, alkynyl of 2 - 4 carbons, C(O)C<sub>6</sub>H<sub>5</sub>, C(O)N(R<sup>6</sup>)(R<sup>7</sup>), S(O)<sub>y</sub>R<sup>8</sup>, O-C(R<sup>9</sup>)<sub>2</sub>-O, or C(O)C<sub>6</sub>H<sub>4</sub>, then T optionally may bear secondary substituents selected from the group consisting of alkyl of 1 - 4 carbons; alkoxy of 1 - 4 carbons; CO<sub>2</sub>R<sup>5</sup>; CO<sub>2</sub>H; C(O)N(R<sup>6</sup>)(R<sup>7</sup>); CHO; OH; NO<sub>2</sub>; CN; halogen; S(O)<sub>y</sub>R<sup>8</sup>; or =O, the number of said secondary substituents being 1 or 2

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with the exception of halogen, which may be employed up to the perhalo level;

G is a substituent selected from the group consisting of

halogen;

OH;

OR<sup>5</sup>;

=O, representing two substituents G;

alkyl of 1 - 4 carbons;

alkenyl of 1 - 4 carbons;

cycloalkyl of 3 - 7 carbons;

heterocycloalkyl of 3 - 5 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

cycloalkenyl of 5 - 7 carbons;

heterocycloalkenyl of 4 - 6 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

CO<sub>2</sub>R<sup>5</sup>;

C(O)N(R<sup>6</sup>)(R<sup>7</sup>);

aryl of 6 - 10 carbons;

heteroaryl of 3 - 9 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

NO<sub>2</sub>;

CN;

S(O)<sub>y</sub>R<sup>8</sup>;

SO<sub>3</sub>R<sup>8</sup>; and

SO<sub>2</sub>N(R<sup>6</sup>)(R<sup>7</sup>);

g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;

provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, heterocycloalkyl of 3 - 5 carbons,

cycloalkenyl of 5 - 7 carbons, or heterocycloalkenyl of 4 - 6 carbons, then G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl or heteroaryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;

Q is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

haloalkyl of 1 - 4 carbons;

cycloalkyl of 3 - 8 carbons;

alkoxy of 1 - 8 carbons;

alkenyl of 2 - 5 carbons;

cycloalkenyl of 5 - 8 carbons;

aryl of 6 - 10 carbons;

heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

$\text{CO}_2\text{R}^5$ ;

$=\text{O}$ , representing two substituents Q;

$\text{OH}$ ;

halogen;

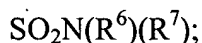
$\text{N}(\text{R}^6)(\text{R}^7)$ ;

$\text{S}(\text{O})_y\text{R}^8$ ;

$\text{SO}_3\text{R}^8$ ; and

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q is 0 - 4

provided that when substituent Q is aryl or heteroaryl, then Q optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties and up to the perhalo level for halogen; and

with the further provisos that:

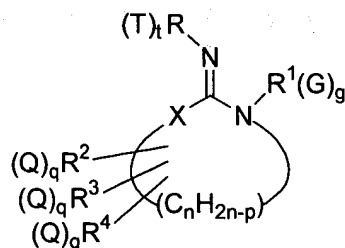
- a) two of  $(\text{Q})_q\text{R}^1$ ,  $(\text{Q})_q\text{R}^2$ ,  $(\text{Q})_q\text{R}^3$ , and  $(\text{Q})_q\text{R}^4$  may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 - 8 members containing 0 - 2 heteroatoms selected from the group consisting of N, O, and S;
  - b) at least one of  $\text{R}^2$ ,  $\text{R}^3$ , and  $\text{R}^4$  is other than H;
  - c) if  $t = 1$ , then T is selected from the list of substituents T above excepting alkyl, and the 4-position of the 1,3-oxazolidine ring must bear a substituent;
  - d) the sum of non-hydrogen atoms in  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$ , and  $\text{R}^4$  is at least 5;
  - e) when the 4-position of the 1,3-oxazolidine ring bears a carbonyl group, and R bears halogen at its 2- and 4- positions, then the 5-position of R bears H;
  - f) when the 4-position of the 1,3-oxazolidine ring may bear a carbonyl only if the 5-position of said ring bears at least one non-H substituent;
- and pharmaceutically acceptable salts thereof.

2. (Amended) A compound having the formula

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wherein

R is

substituted phenyl wherein the substituent is T; or

substituted pyridyl wherein the substituent is T;

R<sup>1</sup> is

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;

alkenyl of 2 - 10 carbons;

cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings; or

alkynyl of 3 - 10 carbons;

R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently selected from the group consisting of

H;

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons;

alkenyl of 2 - 10 carbons;

cycloalkenyl of 5 - 12 carbons; and

=O, representing two of the groups R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;

X is O;

n is 2;

p is the sum of non-H substituents R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;

T is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

alkoxy of 1 - 4 carbons;

alkenyl of 2 - 4 carbons;

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alkynyl of 2 - 4 carbons;

NO<sub>2</sub>;

CN; and

halogen;

t is 1 - 5;

provided that when substituent moiety T is alkyl of 1 - 4 carbons, alkoxy of 1 - 4 carbons, alkenyl of 2 - 4 carbons, or alkynyl of 2 - 4 carbons, then T optionally may bear secondary substituents selected from the group consisting of

alkyl of 1 - 4 carbons;

alkoxy of 1 - 4 carbons;

CO<sub>2</sub>R<sup>5</sup>; wherein

R<sup>5</sup> is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons, cycloalkyl of 3 - 6 carbons, or halocycloalkyl of 3 - 6 carbons;

CO<sub>2</sub>H;

C(O)N(R<sup>6</sup>)(R<sup>7</sup>); wherein

R<sup>6</sup> is H or alkyl of 1 - 5 carbons; and

R<sup>7</sup> is H or alkyl of 1 - 5 carbons;

CHO;

OH;

NO<sub>2</sub>;

CN;

halogen;

S(O)yR<sup>8</sup>; wherein

R<sup>8</sup> is alkyl of 1 - 5 carbons; and

=O, representing two secondary substituents;

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the number of said secondary substituents being 1 or 2 with the exception of halogen, which may be employed up to the perhalo level;

G is a substituent selected from the group consisting of

halogen;

OR<sup>5</sup>;

alkyl of 1 - 4 carbons;

alkenyl of 1 - 4 carbons;

cycloalkyl of 3 - 7 carbons;

cycloalkenyl of 5 - 7 carbons;

aryl of 6 - 10 carbons; and

CN;

g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;

provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, or cycloalkenyl of 5 - 7 carbons, then G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;

Q is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

haloalkyl of 1 - 4 carbons;

cycloalkyl of 3 - 8 carbons;

alkoxy of 1 - 8 carbons;

alkenyl of 2 - 5 carbons;

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cycloalkenyl of 5 - 8 carbons;

$\text{CO}_2\text{R}^5$ ;

$=\text{O}$ , representing two substituents Q;

$\text{OH}$ ;

halogen;

$\text{N}(\text{R}^6)(\text{R}^7)$ ; and

$\text{S}(\text{O})_q\text{R}^8$ ;

q is 0 - 4;

and

with the further provisos that:

- a) two of  $(\text{Q})_q\text{R}^1$ ,  $(\text{Q})_q\text{R}^2$ ,  $(\text{Q})_q\text{R}^3$ , and  $(\text{Q})_q\text{R}^4$  may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 - 8 members containing 0 - 2 heteroatoms selected from the group consisting of N, O, and S;
- b) at least one of  $\text{R}^2$ ,  $\text{R}^3$ , and  $\text{R}^4$  is other than H;
- c) if  $t = 1$ , then T is selected from the list of substituents T above excepting alkyl, and the 4-position of the 1,3-oxazolidine ring must bear a substituent;
- d) the sum of non-hydrogen atoms in  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$ , and  $\text{R}^4$  is at least 5;
- e) when the 4-position of the 1,3-oxazolidine ring bears a carbonyl group, and R bears halogen at its 2- and 4- positions, then the 5-position of R bears H;
- f) when the 4-position of the 1,3-oxazolidine ring may bear a carbonyl only if the 5-position of said ring bears at least one non-H substituent;

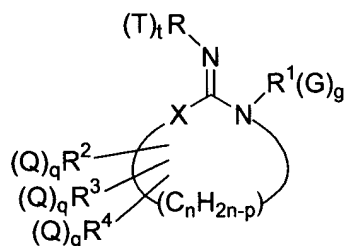
and pharmaceutically acceptable salts thereof.

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3. (Amended) A compound having the formula



wherein

R is

substituted phenyl wherein the substituent is T; or

substituted pyridyl wherein the substituent is T;

R<sup>1</sup> is

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;

alkenyl of 2 - 10 carbons; or

cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings;

R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently selected from the group consisting of

H;

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons;

alkenyl of 2 - 10 carbons; and

cycloalkenyl of 5 - 12 carbons;

X is O;

n is 2;

p is the sum of non-H substituents R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;

T is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

alkenyl of 2 - 4 carbons;

NO<sub>2</sub>;

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CN; and

halogen;

t is 1 - 5;

provided that when substituent moiety T is alkyl of 1 - 4 carbons, or alkenyl of 2 - 4 carbons, then T optionally may bear secondary substituents selected from the group consisting of

alkyl of 1 - 4 carbons;

alkoxy of 1 - 4 carbons;

CO<sub>2</sub>R<sup>5</sup>; wherein

R<sup>5</sup> is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons, cycloalkyl of 3 - 6 carbons, or halocycloalkyl of 3 - 6 carbons;

CO<sub>2</sub>H;

C(O)N(R<sup>6</sup>)(R<sup>7</sup>); wherein

R<sup>6</sup> is H or alkyl of 1 - 5 carbons; and

R<sup>7</sup> is H or alkyl of 1 - 5 carbons;

CHO;

OH;

NO<sub>2</sub>;

CN;

halogen;

S(O)<sub>y</sub>R<sup>8</sup>; wherein

R<sup>8</sup> is alkyl of 1 - 5 carbons; and

=O;

the number of said secondary substituents being 1 or 2 with the exception of halogen, which may be employed up to the perhalo level;

G is a substituent selected from the group consisting of

halogen;

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A<sub>2</sub>

alkyl of 1 - 4 carbons;  
 alkenyl of 1 - 4 carbons;  
 cycloalkyl of 3 - 7 carbons;  
 cycloalkenyl of 5 - 7 carbons; and  
 aryl of 6 - 10 carbons;

g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;

provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, or cycloalkenyl of 5 - 7 carbons, then G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;

Q is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;  
 haloalkyl of 1 - 4 carbons;  
 cycloalkyl of 3 - 8 carbons;  
 alkoxy of 1 - 8 carbons;  
 alkenyl of 2 - 5 carbons;  
 cycloalkenyl of 5 - 8 carbons; and  
 halogen;

q is 0 - 4;

and

with the further provisos that:

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- a) two of  $(Q)_qR^1$ ,  $(Q)_qR^2$ ,  $(Q)_qR^3$ , and  $(Q)_qR^4$  may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 - 8 members containing 0 - 2 heteroatoms selected from the group consisting of N, O, and S;
- b) at least one of  $R^2$ ,  $R^3$ , and  $R^4$  is other than H;
- c) if  $t = 1$ , then T is selected from the list of substituents T above excepting alkyl, and the 4-position of the 1,3-oxazolidine ring must bear a substituent;
- d) the sum of non-hydrogen atoms in  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  is at least 5;

and pharmaceutically acceptable salts thereof.

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4. canceled.

5. canceled.

7. (Amended) A pharmaceutical composition comprising a compound of claim 1, 2, 3 or 6, and a pharmaceutically acceptable carrier.

8. (Amended) A method of treating a mammal by administering to said mammal an effective amount of a compound for:

A1) enhancement of bone formation in bone weakening diseases for the treatment or prevention of osteopenia or osteoporosis;

A2) enhancement of fracture healing;

B1) use as a female contragestive agent;

B2) prevention of endometrial implantation;

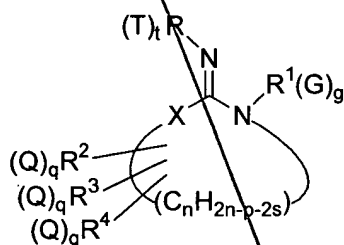
B3) induction of labor;

B4) treatment of luteal deficiency;

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- B5) enhanced recognition and maintenance of pregnancy;
  - B6) counteracting of preeclampsia, eclampsia of pregnancy, and preterm labor;
  - B7) treatment of infertility, including promotion of spermatogenesis, induction of the acrosome reaction, maturation of oocytes, or in vitro fertilization of oocytes;
  - C1) treatment of dysmenorrhea;
  - C2) treatment of dysfunctional uterine bleeding;
  - C3) treatment of ovarian hyperandrogenism;
  - C4) treatment of ovarian hyperaldosteronism;
  - C5) alleviation of premenstrual syndrome and of premenstrual tension;
  - C6) alleviation of perimenstrual behavior disorders;
  - C7) treatment of climacteric disturbance, including menopause transition, mood changes, sleep disturbance, and vaginal dryness;
  - C8) enhancement of female sexual receptivity and male sexual receptivity;
  - C9) treatment of post menopausal urinary incontinence;
  - C10) improvement of sensory and motor functions;
  - C11) improvement of short term memory;
  - C12) alleviation of postpartum depression;
  - C13) treatment of genital atrophy;
  - C14) prevention of postsurgical adhesion formation;
  - C15) regulation of uterine immune function;
  - C16) prevention of myocardial infarction;

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- D1) hormone replacement;
  - E1) treatment of cancers, including breast cancer, uterine cancer, ovarian cancer, and endometrial cancer;
  - E2) treatment of endometriosis;
  - E3) treatment of uterine fibroids;
  - F1) treatment of hirsutism;
  - F2) inhibition of hair growth;
  - G1) activity as a male contraceptive;
  - G2) activity as an abortifacient; and
  - H1) promotion of myelin repair;

wherein said compound has the general formula



wherein

R is

substituted aryl of 6 - 14 carbons wherein the substituent is T; or

heteroaryl of 3 - 10 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S, with the proviso that R is other than benzofuran or benzothiophene;

R<sup>1</sup> is



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alkyl of 1 - 10 carbons;  
 cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;  
 heterocycloalkyl of 4 - 7 carbons and containing 1 - 3 rings and 1 - 3  
     heteroatoms selected from the group consisting of N, O, and S;  
 substituted aryl of 6 - 10 carbons wherein the substituent is G;  
 heteroaryl of 3 - 9 carbons and containing 1 - 3 rings and 1 - 3 heteroatoms  
     selected from the group consisting of N, O, and S;  
 alkenyl of 2 - 10 carbons;  
 cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings; or  
 alkynyl of 3 - 10 carbons;

$R^2$ ,  $R^3$ , and  $R^4$  are independently selected from the group consisting of

H;  
 alkyl of 1 - 10 carbons;  
 cycloalkyl of 3 - 12 carbons;  
 alkenyl of 2 - 10 carbons;  
 cycloalkenyl of 5 - 12 carbons;  
 substituted aryl of 6 - 13 carbons wherein the substituent is Q;  
 heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms  
     selected from the group consisting of N, O, and S;  
 $\text{CO}_2\text{R}^5$ ; wherein  
 $\text{R}^5$  is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons, cycloalkyl  
     of 3 - 6 carbons, or halocycloalkyl of 3 - 6 carbons;  
 halogen; and  
 $=\text{O}$ , representing two of the groups  $R^2$ ,  $R^3$ , and  $R^4$ ;

X is O;

n is 2;

p is the sum of non-H substituents  $R^2$ ,  $R^3$ , and  $R^4$ ;

s represents the number of double bonds in the ring, and is 0, 1, or 2;

T is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;  
 alkoxy of 1 - 4 carbons;

aryl of 6 - 10 carbons;

CO<sub>2</sub>H;

CO<sub>2</sub>R<sup>5</sup>;

alkenyl of 2 - 4 carbons;

alkynyl of 2 - 4 carbons;

C(O)C<sub>6</sub>H<sub>5</sub>;

C(O)N(R<sup>6</sup>)(R<sup>7</sup>); wherein

R<sup>6</sup> is H or alkyl of 1 - 5 carbons; and

R<sup>7</sup> is H or alkyl of 1 - 5 carbons;

S(O)<sub>y</sub>R<sup>8</sup>; wherein

y' is 1 or 2; and

R<sup>8</sup> is alkyl of 1 - 5 carbons;

SO<sub>2</sub>F;

CHO;

OH;

NO<sub>2</sub>;

CN;

halogen;

OCF<sub>3</sub>;

N-oxide;

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O-C(R<sup>9</sup>)<sub>2</sub>-O, the oxygens being connected to adjacent positions on R; and  
wherein

R<sup>9</sup> is H, halogen, or alkyl of 1 - 4 carbons;

C(O)NHC(O), the carbons being connected to adjacent positions on R;  
and

C(O)C<sub>6</sub>H<sub>4</sub>, the carbonyl carbon and the ring carbon ortho to the carbonyl  
being connected to adjacent positions on R;

t is 1 - 5;

provided that when substituent moiety T is alkyl of 1 - 4 carbons; alkoxy  
of 1 - 4 carbons; aryl of 6 - 10 carbons; CO<sub>2</sub>R<sup>5</sup>; alkenyl of 2 - 4 carbons;  
alkynyl of 2 - 4 carbons; C(O)C<sub>6</sub>H<sub>5</sub>; C(O)N(R<sup>6</sup>)(R<sup>7</sup>); S(O)<sub>y</sub>R<sup>8</sup>; O-C(R<sup>9</sup>)<sub>2</sub>-  
O, or C(O)C<sub>6</sub>H<sub>4</sub>, then T optionally may bear secondary substituents  
selected from the group consisting of alkyl of 1 - 4 carbons; alkoxy of 1 - 4  
carbons; CO<sub>2</sub>R<sup>5</sup>; CO<sub>2</sub>H; C(O)N(R<sup>6</sup>)(R<sup>7</sup>); CHO; OH; NO<sub>2</sub>; CN; halogen;  
S(O)<sub>y</sub>R<sup>8</sup>; or =O, the number of said secondary substituents being 1 or 2  
with the exception of halogen, which may be employed up to the perhalo  
level;

G is a substituent selected from the group consisting of

halogen;

OH;

OR<sup>5</sup>;

=O, representing two substituents G;

alkyl of 1 - 4 carbons;

alkenyl of 1 - 4 carbons;

cycloalkyl of 3 - 7 carbons;

heterocycloalkyl of 3 - 5 carbons and 1 - 3 heteroatoms selected from the  
group consisting of N, O, and S;

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cycloalkenyl of 5 - 7 carbons;  
 heterocycloalkenyl of 4 - 6 carbons and 1 - 3 heteroatoms selected from  
 the group consisting of N, O, and S;

$\text{CO}_2\text{R}^5$ ;

$\text{C}(\text{O})\text{N}(\text{R}^6)(\text{R}^7)$ ;

aryl of 6 - 10 carbons;

heteroaryl of 3 - 9 carbons and 1 - 3 heteroatoms selected from the group  
 consisting of N, O, and S;

$\text{NO}_2$ ;

CN;

$\text{S}(\text{O})_2\text{R}^8$ ;

$\text{SO}_3\text{R}^8$ ; and

$\text{SO}_2\text{N}(\text{R}^6)(\text{R}^7)$ ;

g is 0 - 4, with the exception of halogen, which may be employed up to the  
 perhalo level;

provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4  
 carbons, cycloalkyl of 3 - 7 carbons, heterocycloalkyl of 3 - 5 carbons,  
 cycloalkenyl of 5 - 7 carbons, or heterocycloalkenyl of 4 - 6 carbons, then  
 G optionally may bear secondary substituents of halogen up to the perhalo  
 level; and when substituent G is aryl or heteroaryl, then G optionally may  
 bear secondary substituents independently selected from the group  
 consisting of alkyl of 1 - 4 carbons and halogen, the number of said  
 secondary substituents being up to 3 for alkyl moieties, and up to the  
 perhalo level for halogen;

Q is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

haloalkyl of 1 - 4 carbons;

cycloalkyl of 3 - 8 carbons;

alkoxy of 1 - 8 carbons;

Sch  
B2  
A3

alkenyl of 2 - 5 carbons;

cycloalkenyl of 5 - 8 carbons;

aryl of 6 - 10 carbons;

heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

$\text{CO}_2\text{R}^5$

$=\text{O}$ , representing two substituents Q;

OH;

halogen;

$\text{N}(\text{R}^6)(\text{R}^7)$ ;

$\text{S}(\text{O})_q\text{R}^8$ ;

$\text{SO}_3\text{R}^8$ ; and

$\text{SO}_2\text{N}(\text{R}^6)(\text{R}^7)$ ;

q is 0 - 4

provided that when substituent Q is aryl or heteroaryl, then Q optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties and up to the perhalo level for halogen; and

with the further proviso that two of  $(\text{Q})_q\text{R}^1$ ,  $(\text{Q})_q\text{R}^2$ ,  $(\text{Q})_q\text{R}^3$ , and  $(\text{Q})_q\text{R}^4$  may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 - 8 members containing 0 - 2 heteroatoms selected from the group consisting of N, O, and S; and pharmaceutically acceptable salts thereof.